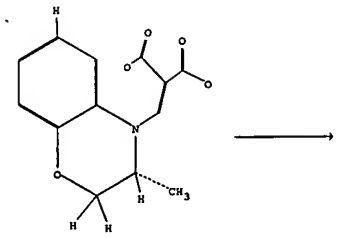
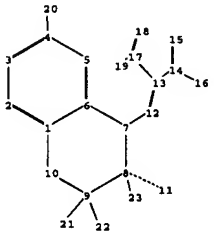
L Number	Hits	Search Text	DB	Time stamp
5	1060	544/101, 544/105	USPAT; US-PGPUB	2003/09/23 10:53
6	17727	borontrifluoride or (boron adj trifluoride)	USPAT; US-PGPUB	2003/09/23 10:49
8	69	(544/101, 544/105) and (borontrifluoride or (boron adj trifluoride))	USPAT; US-PGPUB	2003/09/23 10:52
10	330	544/101	USPAT; US-PGPUB	2003/09/23 11:34

C:\Program Files\Stnexp\Queries\10070556.str





chain nodes :
11 12 13 14 15 16 17 18 19 20 21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
4-20 7-12 8-11 8-23 9-21 9-22 12-13 13-14 13-17 14-15 14-16 17-18 17-19
ring bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10
exact/norm bonds :
1-10 6-7 7-8 7-12 8-9 8-11 9-10 14-15 14-16 17-18 17-19
exact bonds :
4-20 8-23 9-21 9-22 12-13 13-14 13-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS
21:CLASS 22:CLASS 23:CLASS
fragments assigned reactant/reagent role:
containing 1

of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:50:37 ON 23 SEP 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:50:45 ON 23 SEP 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 SEP 2003 HIGHEST RN 591204-55-6
DICTIONARY FILE UPDATES: 22 SEP 2003 HIGHEST RN 591204-55-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10070556.str

L1 STRUCTURE UPLOADED

=> file casreact

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.40	0.61

FILE 'CASREACT' ENTERED AT 09:51:15 ON 23 SEP 2003
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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FILE CONTENT:1907 - 21 Sep 2003 VOL 139 ISS 12

Some records from 1974 to 1991 are derived from the ZIC/VINITI data file and provided by InfoChem and some records are produced using some INPI

data from the period prior to 1986.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Crossover limits have been increased. See HELP RNCROSSOVER for details.

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

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SAMPLE SEARCH INITIATED 09:51:22 FILE 'CASREACT'
SCREENING COMPLETE -      0 REACTIONS TO VERIFY FROM      0 DOCUMENTS
/
)
100.0% DONE      0 VERIFIED       0 HIT RXNS                      0 DOCS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED VERIFICATIONS:          0 TO        0
PROJECTED ANSWERS:                0 TO        0

L2            0 SEA SSS SAM L1 (    0 REACTIONS)

=> s l1 sss full
FULL SEARCH INITIATED 09:51:33 FILE 'CASREACT'
SCREENING COMPLETE -     72 REACTIONS TO VERIFY FROM     13 DOCUMENTS

100.0% DONE     72 VERIFIED      22 HIT RXNS                     10 DOCS
SEARCH TIME: 00.00.01

L3           10 SEA SSS FUL L1 (    22 REACTIONS)

=> d fhit ibib abs tot
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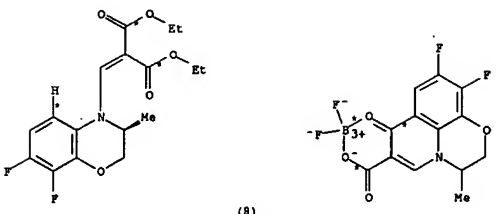
L3 ANSWER 1 OF 10 CASREACT COPYRIGHT 2003 ACS on STN

RX(8) OF 45 ...W ===> Y...



W (8) Y

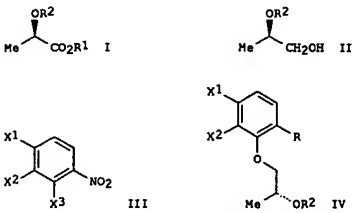
RX(8) RCT W 106939-43-9
RGT Z 462-34-0 THF.BF3
PRO Y 113348-94-0
SOL 108-24-7 Ac2O
NTE cyclization at 140.degree. for 1 h

ACCESSION NUMBER: 137:232675 CASREACT
TITLE: Process for preparation of optically active 2-hydroxypropoxyaniline derivatives as intermediates for levofloxacin via enzymic or microbial stereoselective hydrolysis of racemic lactic acid ester
INVENTOR(S): Sato, Kouji; Yagi, Tsutomu; Kubota, Kazuo; Imura, Akihiro
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070726	A1	20020912	WO 2002-JP2054	20020306

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

L3 ANSWER 1 OF 10 CASREACT COPYRIGHT 2003 ACS on STN (Continued)
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: JP 2001-63945 20010307
OTHER SOURCE(S): MARPAT 137:232675
GI



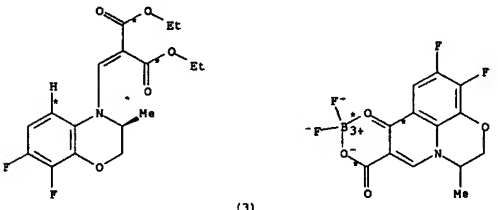
I II III IV

AB Treatment of a racemic lactate deriv. of formula MeCH(OR2)CO2R1 (R1 = C1-6 alkyl; R2 = hydroxy-protecting group) with an enzyme having an ability to hydrolyze an ester asym. causes specific hydrolysis of the ester moiety of one of the optical isomers constituting the racemic lactate deriv. to give optically active lactic acid esters (I; R1, R2 = same as above). The alkyl lactate I is reduced by metal borohydride in the presence of a primary alc. in nonalcoholic solvent to optically active 2-hydroxypropanol (II; R2 = same as above) which is condensed with trihalonitrobenzene (III; X1-X3 = halo) in the presence of a base to give 3,4-dihalo-2-(2-hydroxypropoxy)nitrobenzene deriv. (IV; R = NO2; R2, X1, X2 = same as above). Simultaneous conversion of the nitro group into the amino group and cleavage of the protecting group gives 3,4-dihalo-2-(2-hydroxypropoxy)aniline IV (R = NH2, R2 = H; X1, X2 = same as above) which is converted into levofloxacin (antibacterial) in several steps. Thus, 300 mg 2-benzyloxypropionic acid Et ester was suspended in 0.1 M phosphate buffer (pH 6.5) and treated with 6 mg lipase (Biochem. Industry Co.) at 30.degree. for 24 h to give 102 mg (R)-2-benzyloxypropionic acid Et ester (98.8% ee) which (100 mg) was reduced by NaBH4 in 0.15 mL MeOH and 0.8 mL toluene at 40.degree. for 3 h to give 79 mg (R)-2-benzyloxy-1-propanol (V) (99% ee). A soln. of 4.0 g V and 4.13 g 2,3,4-trifluoronitrobenzene in 40 mL toluene was added to a suspension of 5.40 g KOH and 3.33 g K2CO3 in 180 mL toluene under ice-cooling and stirred at the same temp. for 1 h to give 7.55 g (R)-3,4-difluoro-2-(2-benzyloxypropoxy)nitrobenzene which (1.0 g) was hydrogenated over 1.0 g 7.5% Pd/C in 10 ethanol under hydrogen atm. for 6 h to give 600 mg (R)-3,4-difluoro-2-(2-hydroxypropoxy)aniline (99.0% ee).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 10 CASREACT COPYRIGHT 2003 ACS on STN

RX(3) OF 10 ...G ===> J...



G (3) J

RX(3) RCT G 106939-43-9
RGT K 109-63-7 BF3-Et2O
PRO J 113348-94-0
SOL 108-24-7 Ac2O

ACCESSION NUMBER: 134:222719 CASREACT
TITLE: Process for the preparation of benzoxazine derivatives and intermediates therefor
INVENTOR(S): Sato, Kouji; Takayanagi, Yoshihiro; Okano, Katsuhiko; Nakayama, Keiji; Imura, Akihiro; Itoh, Mikihiro; Yagi, Tsutomu; Kobayashi, Yukinari; Nagai, Tomoyuki
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001018005	A1	20010315	WO 2000-JP6094	20000907

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1211254 A1 20020605 EP 2000-957001 20000907
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
JP 2002121179 A2 20020423 JP 2000-273449 20000908
JP 2001163841 A2 20010619 JP 2000-297799 20000929
NO 2002001124 A 20020508 NO 2002-1124 20020306

L3 ANSWER 2 OF 10 CASREACT COPYRIGHT 2003 ACS on STN (Continued)

PRIORITY APPLN. INFO.:

JP 1999-253958	19990908
JP 1999-278019	19990930
JP 2000-239256	20000808
JP 2000-239262	20000808
WO 2000-JP6094	20000907

OTHER SOURCE(S): MARPAT 134:222719
GI

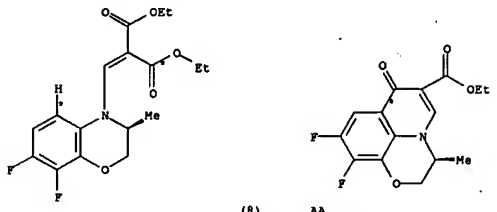
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides an industrially advantageous process for the prepn. of antimicrobial drugs, specifically (3S)-9-halo-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-2,3-dihydro-7H-pyrido[1,2,3-de][1,4]benzoxazine-6-carboxylic acid (I; X = halo) (e.g. levofloxacin), and industrially advantageous processes for the prepn. of intermediates of antimicrobial drugs. The process involves, e.g., cyclization of dialkyl [(3,4-dihydro-2H-1,4-benzoxazin-4-yl)methylene]malonate deriv. (II; X1, X2 = halo; R5, R6 = C1-6 alkoxy) by treatment with Et2O.BF3 and (3S)-9,10-dihalo-3-methyl-7-oxo-2,3-dihydro-7H-pyrido[1,2,3-de][1,4]benzoxazine-6-carboxylic acid-BF2 complex (III; X1, X2 = same as above) with 4-methylpiperazine. Thus, (2S)-2-(2,3,4-trifluoroanilino)-1-propanol, ethoxymethylenemalonic acid di-Et ester, and tetrahexylammonium chloride were dissolved in acetone, treated with K2CO3, and stirred at room temp. for 4.5 h to give 84% di-Et [2,3,4-trifluoro[(1S)-2-hydroxy-1-methylethyl]anilino]methylenemalonate (IV). A soln. of IV in DMF was added dropwise to potassium tert-butoxide in DMF under ice-cooling and stirred at 60.degree. for 18 h to give 79% II (X1 = X2 = F, R6 = Et) which was mixed with Ac2O, treated with Et2O.BF3 at 140.degree., and stirred at the same temp. for 1 h to give III (X1 = X2 = F). The latter compd. was dissolved in DMSO, treated with Et3N and N-methylpiperazine, stirred at room temp. for 17 h, and concd. in vacuo to dryness, and the residue was washed with Et2O, dissolved in 95% ethanol contg. Et3N, refluxed for 8 h, cooled, and evapd. in vacuo to dryness. The residue was dissolved in 5% HCl and extd. with CHCl3, and the aq. layer was adjusted at pH 11 with 1 M NaOH and then at pH 7.4 with 1 M HCl, and extd. with CHCl3 to give levofloxacin.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 10 CASREACT COPYRIGHT 2003 ACS on STN

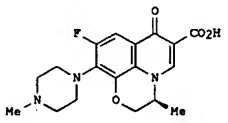
RX(8) OF 55 ...W ===> AA...



W (8) AA YIELD 85%

RX(8) RCT W 106939-43-9
PRO AA 106939-34-8
NTE reaction run in PPE;stereoselective synthesis

ACCESSION NUMBER: 132:22935 CASREACT
TITLE: A practical stereoselective synthesis of (S)-(-)-ofloxacin
AUTHOR(S): Yang, Yu-She; Ji, Ru-Yun; Chen, Kai-Xian
CORPORATE SOURCE: Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai, 200031, Peop. Rep. China
SOURCE: Chinese Journal of Chemistry (1999), 17(5), 539-544
CODEN: CJOCEV; ISSN: 1001-604X
PUBLISHER: Science Press
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



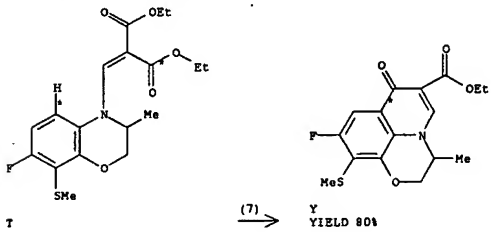
I

AB A very efficient and practical procedure for prepn. of (S)-(-)-ofloxacin (I) has been developed (10 steps, overall yield .gtoreq.45%). The key step of this approach is the regioselective nucleophilic substitution of 2-position fluorine atom of 2,3,4-trifluoronitrobenzene by (S)-glycerol acetonide.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 10 CASREACT COPYRIGHT 2003 ACS on STN

RX(7) OF 34 ...T ===> Y...



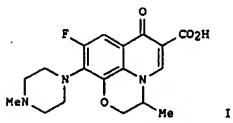
T (7) Y YIELD 80%

RX(7) RCT T 243448-08-0

STAGE(1)
RGT Z 7664-93-9 H2SO4
SOL 108-24-7 Ac2O

STAGE(2)
RGT H 7732-18-5 Water
PRO Y 243448-09-1

ACCESSION NUMBER: 131:214260 CASREACT
TITLE: An efficient synthesis of ofloxacin and levofloxacin from 3,4-difluoroaniline
AUTHOR(S): Adrio, Javier; Carretero, Juan C.; Ruano, Jose L. Garcia; Pallares, Antonio; Vicioso, Mercedes
CORPORATE SOURCE: Departamento de Quimica Organica, Facultad de Ciencias, Universidad Autonoma de Madrid, Madrid, 28049, Spain
SOURCE: Heterocycles (1999), 51(7), 1563-1572
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

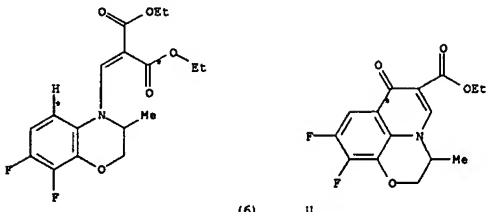
L3 ANSWER 4 OF 10 CASREACT COPYRIGHT 2003 ACS on STN (Continued)

AB The functionalization at either C-2 or C-3 of N-(tert-butoxycarbonyl)-3,4-difluoroaniline, based on its ortho-deprotonation under different exptl. conditions, is described. This process can be readily applied to the synthesis of ofloxacin [(.+-.)-I], levofloxacin [(S)-I], and related compds.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 10 CASREACT COPYRIGHT 2003 ACS on STN

RX(6) OF 48 ...R ===> U...



R (6) ⟹ U YIELD 73%

RX(6) RCT R 86760-99-8
RGT V 7664-93-9 H2SO4, W 108-24-7 Ac2O
PRO U 82419-34-9
NTE 50.degree.

ACCESSION NUMBER: 121:9414 CASREACT
TITLE: Process for obtaining benzoxazines useful for the synthesis of ofloxacin, levofloxacin and derivatives
INVENTOR(S): Carretero Gonzalvez, Juan Carlo; Vicioso Sanchez, Mercedes; Garcia Ruano, Jose Luis
PATENT ASSIGNEE(S): Derivados del Etilo, S.A., Spain
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9407873	A1	19940414	WO 1993-ES80	19931006
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ES 2055656	A1	19940816	ES 1992-1983	19921007
ES 2055656	B1	19951116		
ES 2069500	A1	19950501	ES 1993-2080	19931004
ES 2069500	B1	19960301		
EP 619311	A1	19941012	EP 1993-921930	19931006
R: AT, BE, CH, DE, DK, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07501835	T2	19950223	JP 1993-508738	19931006

L3 ANSWER 5 OF 10 CASREACT COPYRIGHT 2003 ACS on STN (Continued)

AU 674542	B2	19970102	AU 1993-51118	19931006
AU 9351118	A1	19940426		
ZA 9405098	A	19950222	ZA 1994-5098	19940713
US 5521310	A	19960528	US 1994-244455	19940831
AU 9665878	A1	19961212	AU 1996-65878	19960927
AU 686955	B2	19980212		

PRIORITY APPLN. INFO.:

ES 1992-1983		19921007
ES 1993-2080		19931004
WO 1993-ES80		19931006

OTHER SOURCE(S): MARPAT 121:9414

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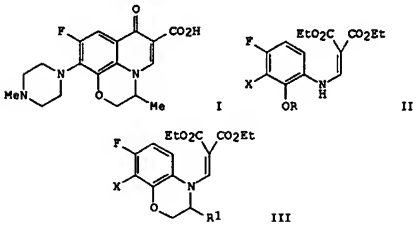


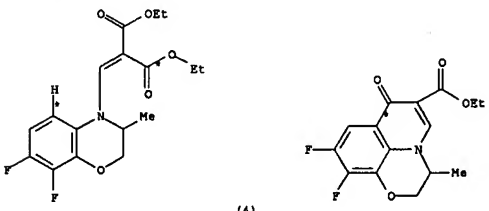
I II

III

AB The antimicrobial agents ofloxacin [(.+-.)-I], levofloxacin [(S)-I], and their derivs. and analogs are prepd. in several steps. via (anilinomethylene)malonates II [R = H, CH2CH(OH)R1; R1 = H, C1-6 alkyl (esp. Me), C2-6 alkenyl, aryl; X = halo (esp. F)] and benzoxazines III. For example, 3,4-difluoroaniline underwent N-tert-butoxycarbonylation (98-99%), lithiation and hydroxylation in the 2-position (89%), N-deprotection (86%), and condensation with di-Et (ethoxymethylene)malonate (80-81%) to give II [R = H, X = F]. Treatment of this with NaH, LiClO4, and propylene oxide in THF gave 65% II [R = CH2CH(OH)Me, X = F], which was cyclized by PPh3 and di-Et azodicarboxylate (79%) to give III [R1 = Me, X = F]. Cyclization of the latter by AcOH-H2SO4 (73%), sapon. by HCl-AcOH (68%), and condensation with N-methylpiperazine (79%) gave (.+-.)-I. By using the appropriate chiral epoxide, and proceeding via enantiomeric intermediates, enantiomeric products such as (S)-I may be obtained without resoln. (claimed, no examples).

L3 ANSWER 6 OF 10 CASREACT COPYRIGHT 2003 ACS on STN

RX(4) OF 11 ...G ===> C...



G (4) ⟹ C

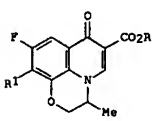
RX(4) RCT G 86760-99-8
PRO C 82419-34-9

ACCESSION NUMBER: 106:156486 CASREACT
TITLE: 9,10-Difluoro-2,3-dihydro-3-methyl-7-oxo-7H-pyrido[1,2,3-de]-1,4-benzoxazine-3-carboxylic acid and its alkyl esters
INVENTOR(S): Tanaka, Yoshiaki; Hayakawa, Isao
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61246188	A2	19861101	JP 1985-187639	19850827
JP 62057636	B4	19871202		

PRIORITY APPLN. INFO.: JP 1985-187639 19850827

GI



I

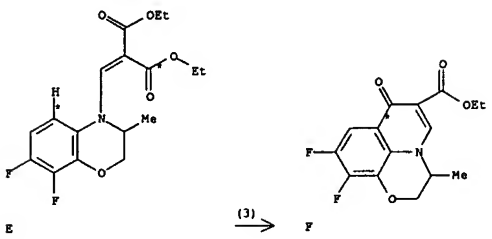
AB The title compds. [I; R = H, alkyl; R1 = F], useful as intermediates for prepn. of the antibacterial ofloxacin [(.+-.)-I; R = H, R1 =

L3 ANSWER 6 OF 10 CASREACT COPYRIGHT 2003 ACS on STN (Continued)

4-methyl-1-piperazinyl], were prepd., e.g., via acetonylation of 2,3-difluoro-6-nitrophenol with chloroacetone, reductive intramol. cyclocondensation, condensation of the resulting difluorodihydromethylbenzoxazine deriv. with di-Et [(dimethylamino)methylene]malonate, intramol. cyclocondensation-decarboxylation, and optional hydrolysis of I [R = Et, R1 = F].

L3 ANSWER 7 OF 10 CASREACT COPYRIGHT 2003 ACS on STN

RX(3) OF 7 ...E ===> F

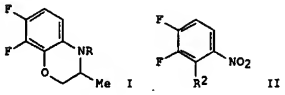


E (3) F

RX(3) RCT E 86760-99-8
PRO F 82419-34-9
ACCESSION NUMBER: 106:102306 CASREACT
TITLE: Dialkyl [(7,8-difluoro-2,3-dihydro-3-methyl-4H-1,4-benzoxazin-4-yl)methylene]malonates
INVENTOR(S): Tanaka, Yoshiaki; Hayakawa, Isao
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61246172	A2	19861101	JP 1985-187638	19850827
JP 02004222	B4	19900126		

PRIORITY APPLN. INFO.: JP 1985-187638 19850827
GI



I II

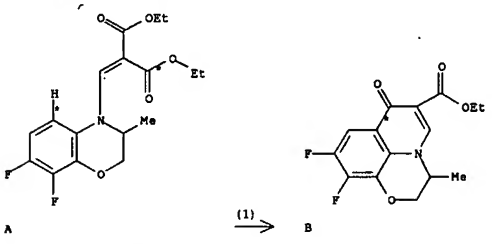
AB The title compds. [I; R = CH:C(CO2R1)2; R1 = alkyl], useful as

L3 ANSWER 7 OF 10 CASREACT COPYRIGHT 2003 ACS on STN (Continued)

intermediates for the antibacterial ofloxacin, were prepd. Thus, trifluoronitrobenzene II (R2 = F) in Me2SO was treated with aq. KOH at 18-20.degree. for 5 h, the resulting II (R2 = OH) refluxed with chloroacetone in acetone contg. K2CO3 and KI for 4 h, and the acetonyloxy deriv. II (R2 = OCH2COMe) was hydrogenated over Raney Ni to give, after treatment with 6% HCl, I.HCl (R = H). This was condensed with Me2NCH:C(CO2Et)2 in HOAc at 80-90.degree. for 5 h to give 74.8% I (R = CH:C(CO2Et)2].

L3 ANSWER 8 OF 10 CASREACT COPYRIGHT 2003 ACS on STN

RX(1) OF 1 A ===> B



A (1) B

RX(1) RCT A 86760-99-8
PRO B 82419-34-9
ACCESSION NUMBER: 102:220885 CASREACT
TITLE: Pyridobenzoxadine derivatives
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59216890	A2	19841206	JP 1983-88826	19830520
JP 03059904	B4	19910912		

PRIORITY APPLN. INFO.: JP 1983-88826 19830520
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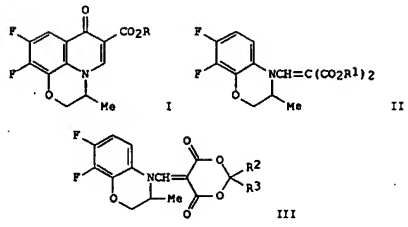
L3 ANSWER 8 OF 10 CASREACT COPYRIGHT 2003 ACS on STN (Continued)

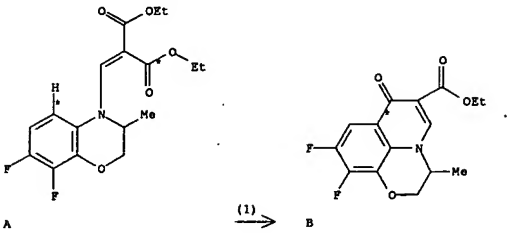


I II III

AB Pyridobenzoxazine derivs. I (R = Et, H) were prepd. by treating II (R1 = alkyl) or III (R2, R3 = alkyl) with acid halides and H2SO4. Thus, 0.5 mL 97% H2SO4 was added to a mixt. of 1 g II (R1 = Et) and 2 mL AcCl at room temp. and the whole was heated for 1 h at 80-90.degree. to give 93.9% I (R = Et).

L3 ANSWER 9 OF 10 CASREACT COPYRIGHT 2003 ACS on STN

RX(1) OF 1 A ===> B



A (1) B

RX(1) RCT A 86760-99-8
PRO B 82419-34-9
ACCESSION NUMBER: 102:6509 CASREACT
TITLE: 9,10-Difluoro-3-methyl-7-oxo-2,3-dihydro-7H-pyrido[1,2,3-de][1,4]benzoxazine-6-carboxylic acid and its ethyl ester
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59122493	A2	19840714	JP 1982-233683	19821227
JP 02012476	B4	19900320		
PRIORITY APPLN. INFO.:			JP 1982-233683	19821227

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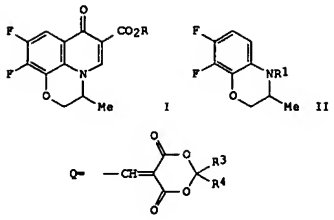
L3 ANSWER 9 OF 10 CASREACT COPYRIGHT 2003 ACS on STN (Continued)

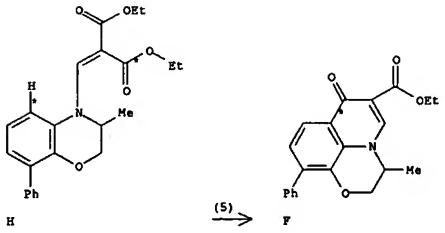


I II

AB The title compds. I (R = H, Et) were prepd. by cyclocondensation of II [R1 = CH:C(CO2R2)2 (R2 = alkyl), Q (R3, R4 = alkyl)] with acid anhydrides and H2SO4. Thus, 10 mL 97% H2SO4 was added to a mixt. of 10 g II [R1 = CH:C(CO2Et)2] and 25 mL Ac2O at room temp. to give 96.3% I (R = Et).

L3 ANSWER 10 OF 10 CASREACT COPYRIGHT 2003 ACS on STN

RX(5) OF 30 ...H ===> F...



H (5) F

RX(5) RCT H 90785-36-7
PRO F 90785-09-4
ACCESSION NUMBER: 101:23460 CASREACT
TITLE: Phenyl-substituted tricyclic antibacterial agents
INVENTOR(S): Gerster, John F.; Stern, Richard M.
PATENT ASSIGNEE(S): Riker Laboratories, Inc., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4443447	A	19840417	US 1982-436376	19821025
EP 107201	A2	19840502	EP 1983-110613	19831024
EP 107201	A3	19840822		
R: DE, FR, GB				
JP 59095285	A2	19840601	JP 1983-198968	19831024
US 4603199	A	19860729	US 1984-574045	19840126
PRIORITY APPLN. INFO.:			US 1982-436376	19821025

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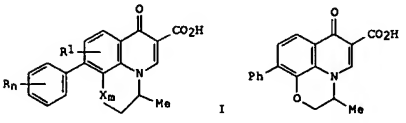

I II

L3 ANSWER 10 OF 10 CASREACT COPYRIGHT 2003 ACS on STN (Continued)

AB The antibacterial (no data) tricyclic compds. I (X = O, CH2, NMe; m = 0, 1; R = H, O2N, H2N, alkyl, alkanamido, dialkylamino, HCONH, HO, alkoxy, haloalkanamido, pyrryl, n = 1, 2; R1 = H, Me, F, Cl, O2N) and their derivs. were prepd. Thus, 2,6-(O2N)PhC6H3OCH2COMe underwent reductive cyclization to give 3,4-dihydro-5-phenyl-2H-1,4-benzoxazine, which was condensed with EtOCH:C(CO2Et)2 followed by cyclization with polyphosphoric acid and hydrolysis to give the pyridobenzoxazine II.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.05	140.66

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.20	-6.20

STN INTERNATIONAL LOGOFF AT 09:52:01 ON 23 SEP 2003